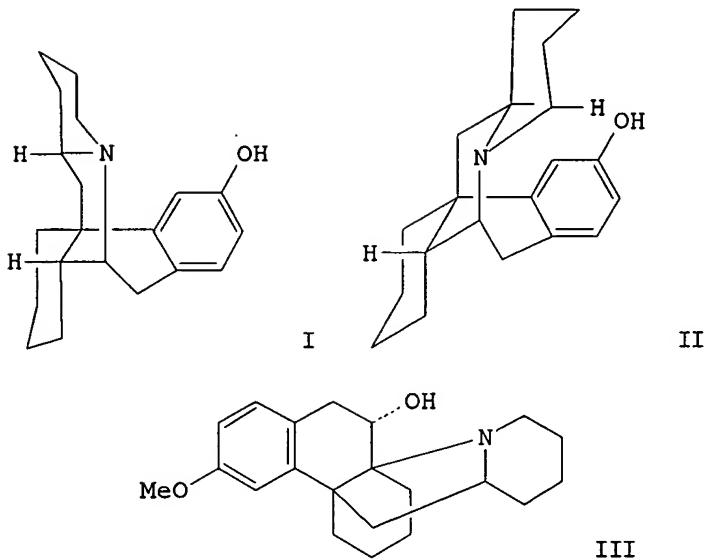


L17 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:113762 CAPLUS
DOCUMENT NUMBER: 102:113762
TITLE: A new efficient synthesis of the 16-epimers of
(±)-3-hydroxy-16,17-butanomorphinan
AUTHOR(S): DiMaio, John; Belleau, Bernard
CORPORATE SOURCE: Dep. Chem. Biol. Pept. Res., Clin. Res. Inst.
Montreal, Montreal, QC, H2W 1R7, Can.
SOURCE: Canadian Journal of Chemistry (1984), 62(12), 2697-701
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A novel synthetic route to the 16α - (I) and 16β -epimers (II) of (\pm) -3-hydroxy-16,17-butanomorphinan is described. The method involves the key intermediate 4a-(2-piperidinylmethyl)-1,2,3,4,4a,9-hexahydro-6-methoxyphenanthrene. Deprotection of the intermediate epoxy trifluoroacetamide with NaBH₄ provides exclusively the 9α -hydroxyhasubanan III which is rearranged to the 14-dehydromorphinan with PBr₃. Hydrogenation of the intermediate olefin followed by O-demethylation afforded the desired (\pm) -3-hydroxy-16,17-butanomorphinan epimers which were separated by crystallization. Single crystal x-ray crystallog. confirmed the 16β configuration and chair conformation of ring D in II which contrasts with the 16α configuration and boat conformation for ring D in I, a compound identical to that previously synthesized using an extension of the Grewel-type methodol.

IT 94847-63-9P

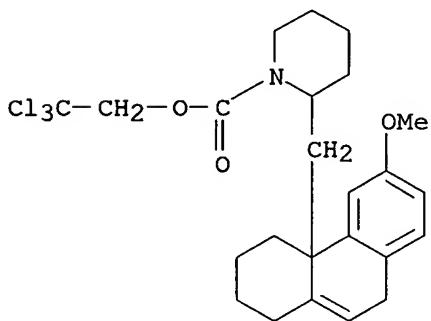
10/721318

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacylation of)

RN 94847-63-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[(1,3,4,9-tetrahydro-6-methoxy-4a(2H)-phenanthrenyl)methyl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



IT 94847-62-8P 94847-64-0P

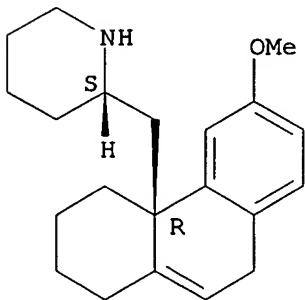
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and epoxidn. of)

RN 94847-62-8 CAPLUS

CN Piperidine, 2-[(1,3,4,9-tetrahydro-6-methoxy-4a(2H)-phenanthrenyl)methyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

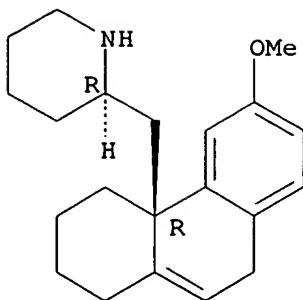
Relative stereochemistry.



RN 94847-64-0 CAPLUS

CN Piperidine, 2-[(1,3,4,9-tetrahydro-6-methoxy-4a(2H)-phenanthrenyl)methyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



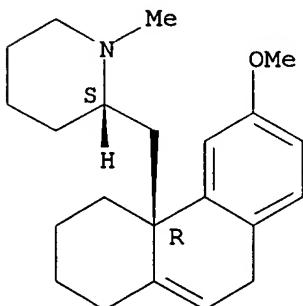
IT 94847-58-2P 94847-60-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with trichloroethyl formate)

RN 94847-58-2 CAPLUS

CN Piperidine, 1-methyl-2-[(1,3,4,9-tetrahydro-6-methoxy-4a(2H)-phenanthrenyl)methyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

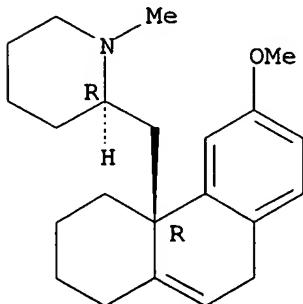
Relative stereochemistry.



RN 94847-60-6 CAPLUS

CN Piperidine, 1-methyl-2-[(1,3,4,9-tetrahydro-6-methoxy-4a(2H)-phenanthrenyl)methyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1980:198565 CAPLUS
 DOCUMENT NUMBER: 92:198565
 TITLE: Synthetic studies on complex terpenoids: Part IV.
 Syntheses of tricyclo[6.3.1.03,8]-6,7-[2'-'-
 methoxybenzo]-Δ3,4-dodecane-11,12-dione and
 9bβ-carbomethoxy-2,3,3aα,4,5,9bβ-
 hexahydro-1H-benz[e]inden-2-one
 AUTHOR(S): Ghosal, Pallab K.; Ghosal, Probir K.; Chatterjee,
 Samir
 CORPORATE SOURCE: Dep. Org. Chem., Indian Assoc. Cultivat. Sci.,
 Calcutta, 700032, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (1979),
 17B(4), 315-20
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

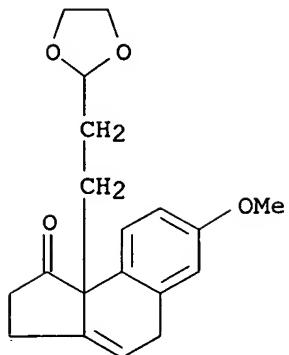
AB Synthesis of the spiro[cyclohexane-1,1'(2'H)-naphthalene] I representing A, B, and D rings of aphidicolin (II) and of stemodin (III) is described using Winstein's Ar1-6 cyclization in the presence of vanadium oxytrichloride. Attempts to build up the 5-membered ring in I were unsuccessful. Catalytic reduction of tricyclobenzododecane-11,12-dione failed, and a new approach was developed for the synthesis of a benzindanone (V) with B/C trans ring junction and having suitable functionalities for further elaboration to the tetracyclic system of II and also for the synthesis of compds. expected to have pharmacol. activities.

IT 73582-75-9P

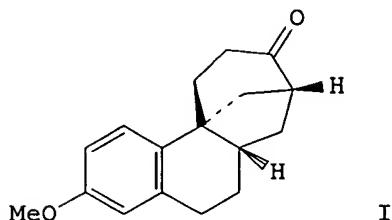
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)

RN 73582-75-9 CAPLUS

CN 1H-Benz[e]inden-1-one, 9b-[2-(1,3-dioxolan-2-yl)ethyl]-2,3,5,9b-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)

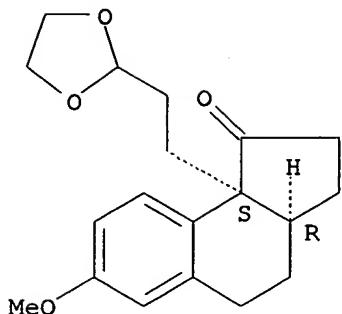


L17 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1977:90069 CAPLUS
 DOCUMENT NUMBER: 86:90069
 TITLE: Synthetic approach to stemodin ring system
 AUTHOR(S): Ghosal, Probir K.; Mukherjee, Debabrata; Dutta, Phanindra C.
 CORPORATE SOURCE: Dep. Org. Chem., Indian Assoc. Cultiv. Sci., Calcutta, India
 SOURCE: Tetrahedron Letters (1976), (34), 2997-8
 DOCUMENT TYPE: CODEN: TELEAY; ISSN: 0040-4039
 LANGUAGE: Journal
 GI English



AB The stemodin synthon I was prepared in 7 steps from 6-methoxy-3'-oxo(2',1',1,2)cyclopentonaphthalene.
 IT 62065-33-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deacetalization of)
 RN 62065-33-2 CAPLUS
 CN 1H-Benz[e]inden-1-one, 9b-[2-(1,3-dioxolan-2-yl)ethyl]-2,3,3a,4,5,9b-hexahydro-7-methoxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN.

ACCESSION NUMBER: 1969:29129 CAPLUS
 DOCUMENT NUMBER: 70:29129
 TITLE: Synthetic approaches to diterpene alkaloids
 AUTHOR(S): Grafen, Paul; Kabbe, H. J.; Roos, O.; Diana, G. D.;
 Li, Tsung-tee; Turner, Richard B.
 CORPORATE SOURCE: Rice Univ., Houston, TX, USA
 SOURCE: Journal of the American Chemical Society (1968),
 90(22), 6131-5
 CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 70:29129

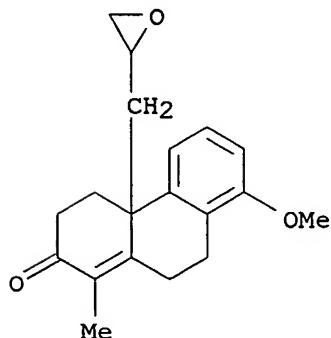
AB Procedures are described for the synthesis of tetracyclic intermediates incorporating the bridged nitrogen-containing ring common to many of the diterpene alkaloids.

IT 21051-86-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 21051-86-5 CAPLUS

CN 2(3H)-Phenanthrone, 4aβ-(2,3-epoxypropyl)-4a,9,10-tetrahydro-8-methoxy-1-methyl- (8CI) (CA INDEX NAME)



FILE 'REGISTRY' ENTERED AT 12:09:47 ON 10 MAR 2005
 L18 8 SEA FILE=REGISTRY ABB=ON PLU=ON (21051-86-5/BI OR 62065-33-2/
 BI OR 73582-75-9/BI OR 94847-58-2/BI OR 94847-60-6/BI OR

10/721318

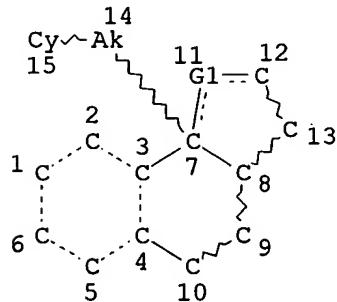
94847-62-8/BI OR 94847-63-9/BI OR 94847-64-0/BI)

FILE 'CAOLD' ENTERED AT 12:10:04 ON 10 MAR 2005
L19 O S L18

FILE 'USPATFULL' ENTERED AT 12:10:09 ON 10 MAR 2005
L20 O S L18

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 12:10:15 ON 10 MAR 2005
L21 O S L18

(FILE 'MARPAT' ENTERED AT 12:10:29 ON 10 MAR 2005)
L22 STR



REP G1=(1-2) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 14 15
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L24 8 SEA FILE=MARPAT SSS FUL L22 (MODIFIED ATTRIBUTES)
L25 6 SEA FILE=MARPAT ABB=ON PLU=ON L24/COMPLETE

L25 ANSWER 1 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:111136 MARPAT

TITLE: Preparation of octahydrophenanthrenols as
glucocorticoid receptor modulators for treatment of
inflammatory conditions

INVENTOR(S): Chantigny, Yves Andre; Kleinman, Edward Fox; Robinson,
Ralph Pelton, Jr.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

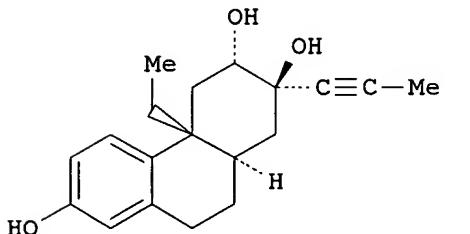
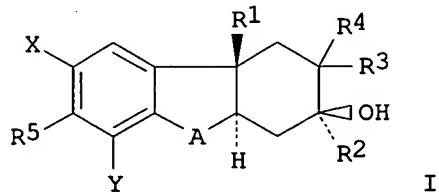
SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005229	A1	20040115	WO 2003-IB2941	20030625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004138262	A1	20040715	US 2003-615126	20030708
PRIORITY APPLN. INFO.:			US 2002-394425P	20020708
GI				



AB Title compds. I [wherein A = CR₆R₇R₈R₉, COCR₁₀R₁₁, or CR₁₂=CR₁₃; X and Y = independently H, F, Cl, Br, or alkyl; R₁ = alkyl, alkenyl, or (un)substituted benzyl; R₂ = (un)substituted (cyclo)alkyl(alkyl), alkenyl, alkynyl, (hetero)aryl(alkyl), or heterocyclyl(alkyl); R₃ = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl, or (hetero)aryl; R₄ = OH or NR₁₄R₁₅; R₅ = H, halo, OH, CN, or (un)substituted (cyclo)alkyl(oxy), alkenyl, alkynyl, (hetero)aryl(oxy), heterocyclyl(oxy), carbamoyl, sulfamoyl, acyl(oxy), etc.; R₆-R₉ = independently H, alkyl, F, or OH; R₁₀ and R₁₁ = independently H or alkyl; R₁₂ and R₁₃ = independently H, F, or alkyl; R₁₄ and R₁₅ = independently H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as glucocorticoid receptor agonists (no data). For example, (3S,4aR,10aR)-3-bromo-4a-ethyl-

7-hydroxy-3,4,4a,9,10,10a-hexahydro-1H-phenanthren-2-one (multi-step preparation given) was treated with NaOH in DMF and H₂O followed by 0.2M HCl to give a 2:1 mixture of the 2-keto-3-hydroxy and 2-hydroxy-3-keto derivs. The 2-keto enriched compound (9:1 ratio of 2-keto to 3-keto derivative) was alkylated with propyne in THF using BuLi in hexane to afford II (25%). Bioassays for glucocorticoid receptor modulation and antiinflammatory response are described, but no specific data are provided. Thus, I and their pharmaceutical compns., salts, and prodrugs are useful in the treatment of certain inflammatory disorders, endocrine disorders, collagen diseases, dermatol. diseases, allergic states, ophthalmic diseases, respiratory diseases, hematol. disorders, neoplastic diseases, edematous states, and gastrointestinal diseases (no data).

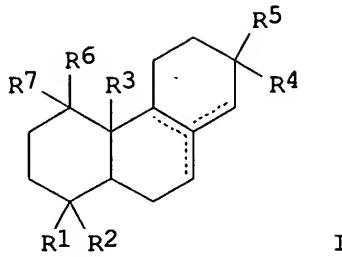
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:358082 MARPAT
 TITLE: Pimaric acid and abietic acid-related compounds as potassium channel opener
 INVENTOR(S): Imaizumi, Yuji; Ohwada, Tomohiko
 PATENT ASSIGNEE(S): Japan
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087559	A1	20021107	WO 2002-JP4085	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1421936	A1	20040526	EP 2002-722750	20020424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004116482	A1	20040617	US 2003-664165	20030917
PRIORITY APPLN. INFO.:			JP 2001-127054	20010425
			JP 2001-337723	20011102
			WO 2002-JP4085	20020424

GI



AB Disclosed is a calcium-dependent potassium channel (BK channel) opener which contains as the active ingredient a terpene compound (e.g., pimamic acid) represented by the formula (I) [wherein R1 to R7 each represents alkyl, alkenyl, halogeno, hydroxy, haloalkyl, hydroxyalkyl, aminoalkyl, alkoxy, aryl, acyl, carboxyl, alkoxy carbonyl, a hydroxamate group, sulfo, carbamoyl, or a sulfonamide, aldehyde, or nitrile group, provided that R4 and R6 may be bonded to R5 and R7, resp., to form a ring; and the three bonds each indicated by a dotted line each is a single bond or one of these is a double bond and the others are single bonds]. The compound I is useful for the prevention and/or treatment of essential hypertension (hyperpiesis), tonic bladder, bronchial pathway hypersensitivity, or ischemic central nervous disorder. For example, pimamic acid in vitro acted on BK α protein in HEK293 cell transfected with rat uterine smooth muscle-derived BK α and BK β 1 genes and at ≥ 3 μ M increased the BK channel opening ratio by at least three-fold and ≥ 10 -fold compared with maxidiol and NS-1619, resp.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:340682 MARPAT

TITLE: Preparation of oxadiazolylalkoxyoctahydrophenanthrenes as glucocorticoid receptor modulators

INVENTOR(S): Liu, Kevin Kun-Chin; Morgan, Bradley P.; Robinson, Ralph Pelton

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

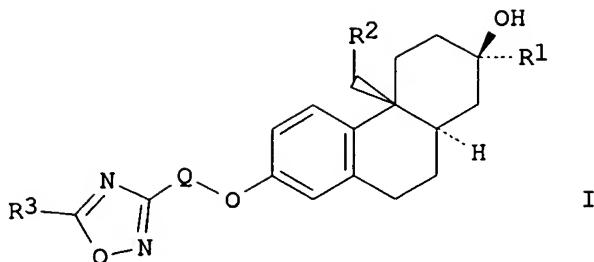
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1201660	A1	20020502	EP 2001-308789	20011016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2360313	AA	20020430	CA 2001-2360313	20011026
US 2004014741	A1	20040122	US 2001-12274	20011026
US 6852719	B2	20050208		
JP 2002193955	A2	20020710	JP 2001-330283	20011029
BR 2001004834	A	20020820	BR 2001-4834	20011029

10/721318

PRIORITY APPLN. INFO.:
GI

US 2000-244302P 20001030



AB Title compds. [I; R1 = alkyl, trifluoroalkyl, C.tplbond.CMe, C.tplbond.CCl, C.tplbond.CCF3, CF3, etc.; R2 = alkyl, alkenyl, (substituted) Ph; R3 = alkyl, amino, aminoalkyl, heterocycl, heterocyclalkyl; Q = (CH2)n; n= 1-3; with provisos], were prepared for treatment of obesity, diabetes, inflammation, anxiety, depression, and neurodegeneration (no data). Thus, ethanimidamide, N-hydroxy-2-[[4b,5,6,7,8a,9,10-octahydro-7-hydroxy-4b-(phenylmethyl)-7-(trifluoromethyl)-2-phenanthrenyl]oxy]- (preparation given) and NaH in THF

were

heated at 60° for 20 min. The solution was cooled to room temperature and ethyl-N,N-dimethylglycine was added. The resultant mixture was heated to reflux for 1 h to give 578 2-phenanthrenol, 7-[[5-[(dimethylamino)methyl]-1,2,4-oxadiazol-3-yl]methoxy]-1,2,3,4,4a,9,10,10a-octahydro-4a-(phenylmethyl)-2-(trifluoromethyl)-, [2R-(2a,4a,10a)].

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:340495 MARPAT

TITLE: Preparation of octahydrophenanthrenyl carbamates as glucocorticoid receptor modulators

INVENTOR(S): Liu, Kevin Kun-Chin; Morgan, Bradley Paul; Robinson, Ralph Pelton, Jr.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

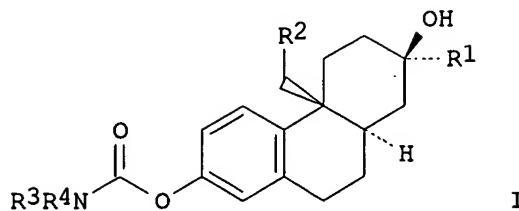
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1201649	A1	20020502	EP 2001-309064	20011025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002193911	A2	20020710	JP 2001-328050	20011025
CA 2360308	AA	20020428	CA 2001-2360308	20011026

10/721318

US 2002107235 A1 20020808 US 2001-6215 20011026
BR 2001004831 A 20020820 BR 2001-4831 20011026
PRIORITY APPLN. INFO.: US 2000-243993P 20001028
GI



I

AB Title compds. [I; R1 = (trifluoromethyl)alkyl, CF₃, C.tplbond.CMe, C.tplbond.CCl, C.tplbond.CCF₃, CH₂OA; A = (trifluoromethyl)alkyl; R2 = alkyl, alkenyl, (substituted) Ph; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, haloalkynyl; R4 = H, alkylamino, alkylhet; R₃R₄N = het; het = (substituted) 5-7 membered (unsatd.) heterocyclic ring containing 1-3 of N, O,

S; and including any bicyclic group in which any of the above heterocyclic rings is fused to a benzene ring or another heterocyclic ring; and optionally substituted with 1-4 R7; R7 = (substituted) alkyl; with provisos], were prepared for treatment of obesity, diabetes, depression, anxiety, neurodegeneration, and inflammatory disease (no data). A solution of 2,7-phenanthrenediol, 1,2,3,4,4a,9,10,10a-octahydro-4a-(phenylmethyl)-2-ethyl-[2R-(2 α ,4 α ,10a β)] (preparation given), COCl₂, and Et₃N in THF was stirred at room temperature for 3 h; 1-(2-aminoethyl)pyrrolidine was

added followed by stirring overnight to give 41% carbamic acid, [2-(1-pyrrolidinyl)ethyl]-, (4bS,7R,8aR)-7-ethyl-4b,5,6,7,8,8a,9,10-octahydro-7-hydroxy-4b-(phenylmethyl)-2-phenanthrenyl ester.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:336224 MARPAT

TITLE: Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

Searcher : Shears 571-272-2528

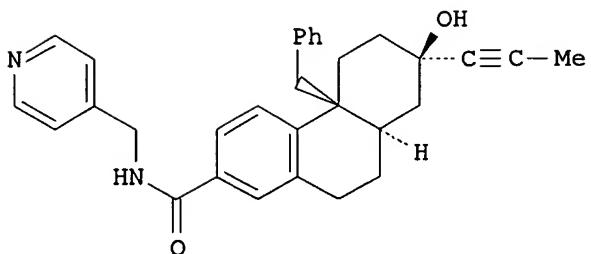
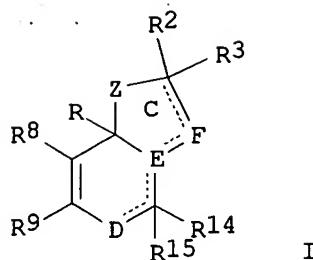
IE, SI, LT, LV, FI, RO
 AU 776724 B2 20040916 AU 2000-66695 20001024
 ZA 2000006008 A 20020426 ZA 2000-6008 20001026
 US 6589947 B1 20030708 US 2000-696822 20001026
 CA 2325069 AA 20010429 CA 2000-2325069 20001027
 NZ 507825 A 20041126 NZ 2000-507825 20001027
 PRIORITY APPLN. INFO.: US 1999-162340P 19991029
 AB Comps. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

L25 ANSWER 6 OF 6 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 133:350060 MARPAT
 TITLE: Preparation of nonracemic octahydrophenanthrene and other tricyclic derivs. as selective modulators of glucocorticoid receptors
 INVENTOR(S): Dow, Robert Lee; Liu, Kevin Kun-Chin; Morgan, Bradley Paul; Swick, Andrew Gordon
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 279 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066522	A1	20001109	WO 2000-IB366	20000327
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2372173	AA	20001109	CA 2000-2372173	20000327
BR 2000010138	A	20020122	BR 2000-10138	20000327
EP 1175383	A1	20020130	EP 2000-911172	20000327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103104	T2	20020521	TR 2001-20010310420000327	
JP 2002543169	T2	20021217	JP 2000-615356	20000327
EE 200100567	A	20030217	EE 2001-567	20000327
NZ 514465	A	20031128	NZ 2000-514465	20000327
AU 776608	B2	20040916	AU 2000-33165	20000327
US 6380223	B1	20020430	US 2000-559384	20000427
ZA 2001008846	A	20021028	ZA 2001-8846	20011026
NO 2001005272	A	20011228	NO 2001-5272	20011029
HR 2001000804	A1	20021231	HR 2001-804	20011030
BG 106142	A	20020531	BG 2001-106142	20011123
US 2002147336	A1	20021010	US 2002-80174	20020219

US 6699893	B2	20040302	US 2003-413879	20030415
US 2003199527	A1	20031023	US 2003-721318	20031125
US 6777404	B2	20040817	US 1999-132130P	19990430
US 2004176595	A1	20040909	US 1999-162340P	19991029
PRIORITY APPLN. INFO.:				
			WO 2000-IB366	20000327
			US 2000-559384	20000427
			US 2000-696822	20001026
			US 2002-80174	20020219

GI



AB Title compds. [e.g., I; D = CR7, CR7R16, N, NR7, O' E = C, CR6, N; F = CR4, CR4R5, O; R = XR1; R1 = H, alkyl, acylalkyl, arylalkyl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, arylalkyl, etc.; 1 of R2,R3 = null when adjacent dashed line = bond; R4,R5 = H, cyano, alkyl, alkoxy, etc.; R4R5 = O; R6 = H, cyano, alkyl, alkoxy, OH, etc.; R7,R16 = H, halo, cyano, alkyl, etc.; R7R16 = O; R8R9 = atoms to complete a substituted heteroarom. ring; R14,R15 = H, halo, alkyl, alkoxy, etc.; R14R15 = O when adjacent dashed lines = null; X = bond, CH2, CH(OH), CO; Z = (un)substituted CH2, -CH2CH2, -CH2CO, CO, etc.; dashed lines = optional bonds] were prepared as glucocorticoid receptor modulators (no data). E.g., 6-methoxy-2-tetralone was alkylated by formation of the pyrrolidine enamine and alkylation with benzyl bromide; the benzylated ketone then undergoes asym. Michael addition with Me vinyl ketone in the presence of (S)-(-)- α -methylbenzylamine followed by cyclocondensation with sodium methoxide to give a nonracemic methoxytetrahydrophenanthrenone derivative E.g., demethylation of the methoxytetrahydrophenanthrenone with boron trichloride, reduction of the enone with lithium and ammonia, addition of 1-lithiopropyne to the ketone, formation of the aryl triflate with triflic

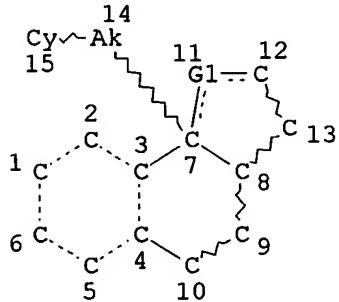
10/721318

anhydride and carbonylation with carbon monoxide in the presence in the presence of palladium acetate and bis(diphenylphosphino)propanol gives an hydroxyoctahydrophenanthrenecarboxylic acid derivative which is coupled with 4-(aminomethyl)pyridine in the presence of trimethylaluminum to give the octahydrophenanthrenecarboxamide II as one of the title compds.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'MARPATPREV' ENTERED AT 12:12:36 ON 10 MAR 2005

L22 STR



REP G1=(1-2) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 14 15

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L26 0 SEA FILE=MARPATPREV SSS FUL L22 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 27 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FILE 'HOME' ENTERED AT 12:12:54 ON 10 MAR 2005